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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 25   CA/CAPLUS - Russian Agency for Patents and Trademarks
                   (ROSPATENT) added to list of core patent offices covered
 NEWS  4  FEB 28   PATDPAFULL - New display fields provide for legal status
                   data from INPADOC
 NEWS  5  FEB 28   BABS - Current-awareness alerts (SDIs) available
 NEWS  6  FEB 28   MEDLINE/LMEDLINE reloaded
 NEWS  7  MAR 02   GBFULL: New full-text patent database on STN
 NEWS  8  MAR 03   REGISTRY/ZREGISTRY - Sequence annotations enhanced
 NEWS  9  MAR 03   MEDLINE file segment of TOXCENTER reloaded
 NEWS  10 MAR 22   KOREAPAT now updated monthly; patent information enhanced
 NEWS  11 MAR 22   Original IDE display format returns to REGISTRY/ZREGISTRY
 NEWS  12 MAR 22   PATDPASPC - New patent database available
 NEWS  13 MAR 22   REGISTRY/ZREGISTRY enhanced with experimental property tags
 NEWS  14 APR 04   EPFULL enhanced with additional patent information and new
                   fields
 NEWS  15 APR 04   EMBASE - Database reloaded and enhanced
 NEWS  16 APR 18   New CAS Information Use Policies available online
 NEWS  17 APR 25   Patent searching, including current-awareness alerts (SDIs),
                   based on application date in CA/CAplus and USPATFULL/USPAT2
                   may be affected by a change in filing date for U.S.
                   applications.
 NEWS  18 APR 28   Improved searching of U.S. Patent Classifications for
                   U.S. patent records in CA/CAplus

 NEWS EXPRESS  JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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FILE 'HOME' ENTERED AT 09:23:21 ON 20 MAY 2005
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=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                0.21       0.21

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DICTIONARY FILE UPDATES:  18 MAY 2005  HIGHEST RN 850688-83-4

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+++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++
+                                                                   +
+ The CA roles and document type information have been removed from +
+ the IDE default display format and the ED field has been added,   +
+ effective March 20, 2005.  A new display format, IDERL, is now    +
+ available and contains the CA role and document type information. +
+                                                                   +
+++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++++

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http://www.cas.org/ONLINE/DBSS/registryss.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=>
Uploading C:\Program Files\Stnexp\Queries\SULFONYLQUINOXALINE BRADY 10614390.str
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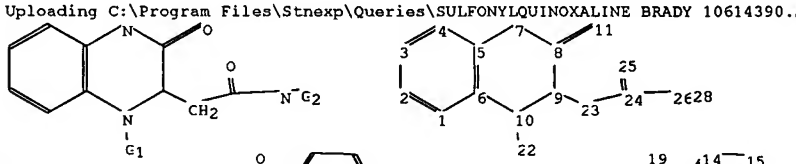
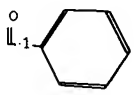
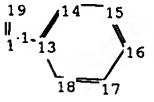


SECOND SEARCH → -BENZOYL OR -CH_2-





```
chain nodes :
11  12  19  22  23  24  25  26  28
ring nodes :
1  2  3  4  5  6  7  8  9  10  13  14  15  16  17  18
chain bonds :
8-11  9-23  10-22  12-13  12-19  23-24  24-25  24-26  26-28
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
 16-17  17-18
exact/norm bonds :
5-7  6-10  7-8  8-9  8-11  9-10  10-22  12-19  24-25  24-26  26-28
exact bonds :
9-23  12-13  23-24
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  13-14  13-18  14-15  15-16  16-17  17-18


G1:CH2,H,[*1]

G2:CH2,Ph

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  28:CLASS

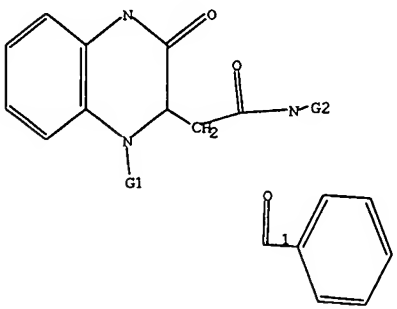


L1       STRUCTURE UPLOADED

=> que L1

L2   QUE L1

=> d l2
L2 HAS NO ANSWERS
L1                 STR
```




```
G1 CH2,H,[@1]
G2 CH2,Ph


Structure attributes must be viewed using STN Express query preparation.
L2              QUE  ABB=ON  PLU=ON  L1

=> s l2 sss full
FULL SEARCH INITIATED 09:26:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1897 TO ITERATE

100.0% PROCESSED    1897 ITERATIONS                              21 ANSWERS
SEARCH TIME: 00.00.01

L3          21 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   163.05     163.26

FILE 'CAPLUS' ENTERED AT 09:26:08 ON 20 MAY 2005
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FILE COVERS 1907 - 20 May 2005  VOL 142 ISS 21
FILE LAST UPDATED: 18 May 2005  (20050518/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4          9 L3

=> d 1-9 ibib abs hitstr

L4   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:354233  CAPLUS
TITLE:                   Binding modes of dihydroquinoxalinones in a homology
                         model of bradykinin receptor 1
AUTHOR(S):               Ha, Sookhee N.; Hey, Pat J.; Ransom, Rick W.; Harrell,
                         C. Meacham; Murphy, Kathryn L.; Chang, Ray; Chen,
                         Tsing-Bau; Su, Dai-Shi; Markowitz, M. Kristine; Bock,
                         Mark G.; Freidinger, Roger M.; Hess, Fred J.
CORPORATE SOURCE:        Basic Chemistry, Merck Research Laboratories, Rahway,
                         NJ, 07065, USA
SOURCE:                  Biochemical and Biophysical Research Communications
                         (2005), 331(1), 159-166
                         CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER:               Elsevier
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   We report the first homol. model of human bradykinin receptor B1 generated
     from the crystal structure of bovine rhodopsin as a template.  Using an
     automated docking procedure, two B1 receptor antagonists of the
     dihydroquinoxalinone structural class were docked into the receptor model.
```

Site-directed mutagenesis data of the amino acid residues in TM1, TM3, TM6, and TM7 were incorporated to place the compds. in the binding site of the homol. model of the human B1 bradykinin receptor. The best pose in agreement with the mutation data was selected for detailed study of the receptor-antagonist interaction. To test the model, the calculated antagonist-receptor binding energy was correlated with the exptl. measured binding affinity (Ki) for nine dihydroquinoxalinone analogs. The model was used to gain insight into the mol. mechanism for receptor function and to optimize the dihydroquinoxalinone analogs.

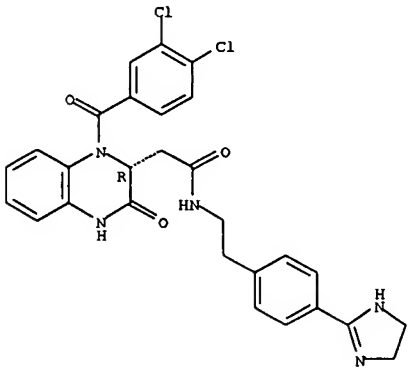
IT INDEXING IN PROGRESS

IT **714565-38-5**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(binding modes of dihydroquinoxalinones in a homol. model of human bradykinin receptor 1)

RN 714565-38-5 CAPLUS

CN 2-Quinoxalineacetamide, 1-(3,4-dichlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:78230 CAPLUS
DOCUMENT NUMBER: 142:176869
TITLE: A preparation of quinoxaline derivatives, useful as bradykinin antagonists
INVENTOR(S): Su, Dai-Shi; Bock, Mark G.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICANTS . . . AGAIN

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005020591	A1	20050127	US 2003-614390		20030707
PRIORITY APPLN. INFO.:			US 2002-433147P	P	20021213
OTHER SOURCE(S):	MARPAT 142:176869				

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

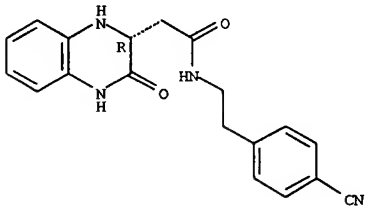
AB The invention relates to a preparation of quinoxaline derivs. of formula I [wherein: X is C(O)NH, C(O)O, S, CH:CH, or C(O), etc.; R1 is pyrrolidine, piperazine, morpholine, or (CH2)1-4CN, etc.; R2 is H, (CH2)1-4CO2H, or SO1-2-(H/alkyl), etc.; R3 is H or halogen; R4 is H, (halo)alkyl, or cycloalkyl, etc.], useful as bradykinin antagonists. For instance, quinoxaline derivative II was prepared via amidation of [(dichlorophenylsulfonyl)quinoxalinyl]acetate derivative III by 4-(2-aminoethyl)benzonitrile and subsequent heterocyclization with ethylenediamine (yields: amidation - 58%, heterocyclization - 51%). The compds. of this invention have affinity for B1 receptor of less than 5 μM. The affinity for the B1 receptor is at least 10 fold, and preferably over 100 fold, over that for the B2 receptor.

IT **714567-80-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoxaline derivs. useful as bradykinin antagonists)

RN 714567-80-3 CAPLUS

CN 2-Quinoxalineacetamide, N-[2-(4-cyanophenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

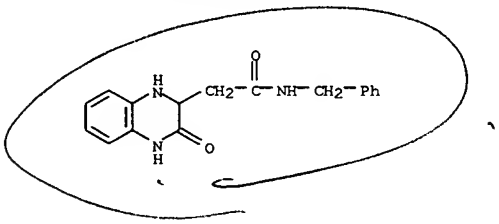
Absolute stereochemistry.



IT **36932-43-1P 714564-84-8P 714564-89-3P**
714565-38-5P 714565-51-2P 714565-78-3P
714566-61-7P 714567-75-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxaline derivs. useful as bradykinin antagonists)

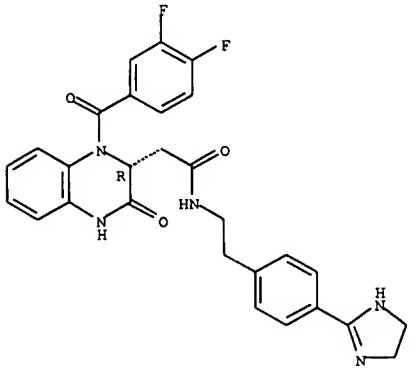
RN 36932-43-1 CAPLUS

CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



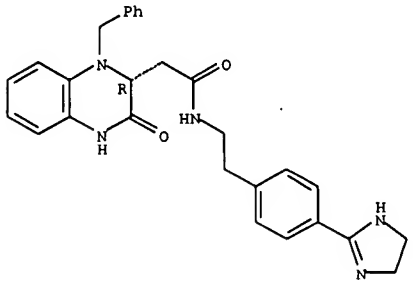
RN 714564-84-8 CAPLUS
CN 2-Quinoxalineacetamide, 1-(3,4-difluorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



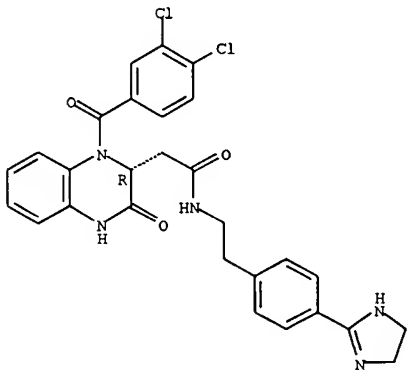
RN 714564-89-3 CAPLUS
CN 2-Quinoxalineacetamide, N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-1-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



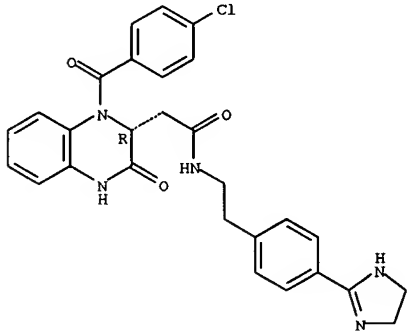
RN 714565-38-5 CAPLUS
CN 2-Quinoxalineacetamide, 1-(3,4-dichlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



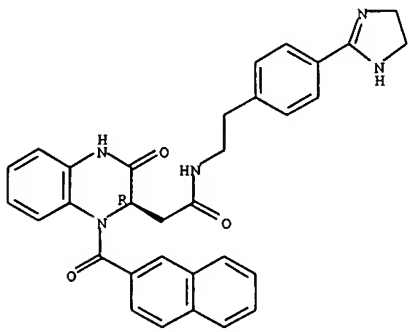
RN 714565-51-2 CAPLUS
CN 2-Quinoxalineacetamide, 1-(4-chlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



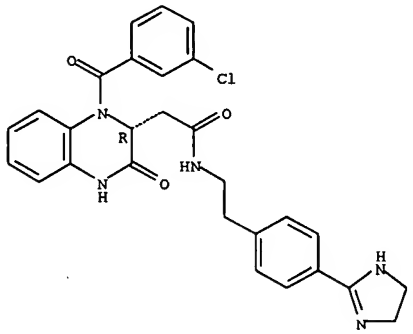
RN 714565-78-3 CAPLUS
CN 2-Quinoxalineacetamide, N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylcarbonyl)-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



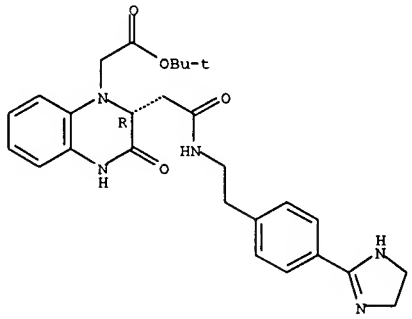
RN 714566-61-7 CAPLUS
CN 2-Quinoxalineacetamide, 1-(3-chlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



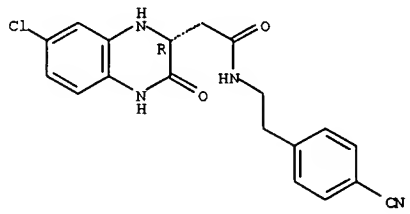
RN 714567-75-6 CAPLUS
CN 1(2H)-Quinoxalineacetic acid, 2-[2-[[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]amino]-2-oxoethyl]-3,4-dihydro-3-oxo-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



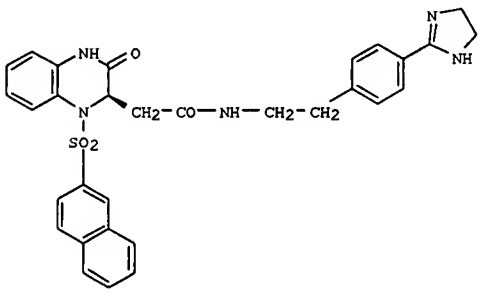
IT **714570-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxaline derivs. useful as bradykinin antagonists)
RN 714570-05-5 CAPLUS
CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4-cyanophenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:967777 CAPLUS
DOCUMENT NUMBER: 142:48410
TITLE: Development of an efficient and selective radioligand for bradykinin B1 receptor occupancy studies
AUTHOR(S): Su, Dai-Shi; Markowitz, M. Kristine; Murphy, Kathy L.; Wan, Bang-Lin; Zrada, Matthew M.; Harrell, C. Meacham; O'Malley, Stacy S.; Hess, J. Fred; Ransom, Rick W.; Chang, Ray S.; Wallace, Michael A.; Raab, Conrad E.; Dean, Dennis C.; Pettibone, Douglas J.; Freidinger, Roger M.; Bock, Mark G.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(24), 6045-6048
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal

LANGUAGE: English
GI



I

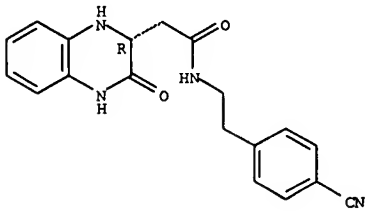
AB We have developed an efficient and selective radioligand, the [35S]-radiolabeled dihydroquinoxalinone derivative, I, for an ex vivo receptor occupancy assay in transgenic rats over-expressing the human bradykinin B1 receptor.

IT **714567-80-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficient and selective radioligand for bradykinin B1 receptor occupancy studies)

RN 714567-80-3 CAPLUS

CN 2-Quinoxalineacetamide, N-[2-(4-cyanophenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

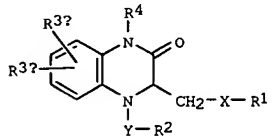
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:531363 CAPLUS
DOCUMENT NUMBER: 141:89112
TITLE: Preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.
INVENTOR(S): Su, Dai-shi; Bock, Mark G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

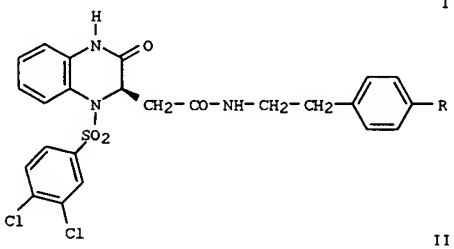
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054584	A1	20040701	WO 2003-US39058	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132733	A1	20040708	US 2003-614539	20030707
PRIORITY APPLN. INFO.:			US 2002-433146P	P 20021213
OTHER SOURCE(S):	MARPAT 141:89112			

GI

= Id, RELATED APPLN



I



II

AB Title compds. I [X = (CH2)mCONRb, (CH2)mNRbCO, (CH2)mCO2, etc.; m = 0-2; Rb = H, alkyl; Y = CO, CO2, SO2, etc.; R1 = (un)substituted (CH2)n-phenyl; n = 0-10; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3a, R3b = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of ethylene diamine and cyanophenyl II [R = CN], e.g., prepared from di-Me D-aspartate in 5-steps, afforded dihydro-1H-imidazol II [R = C=NCH2CH2NH-] in 51% yield. In human bradykinin B1-B2 receptor binding assays, compds. I exhibited affinity for the B1 receptor at least 10-fold, and preferably over 100-fold, over that for the B2 receptor (sic). Compds. I are claimed useful in the treatment or prevention of symptoms such as pain and

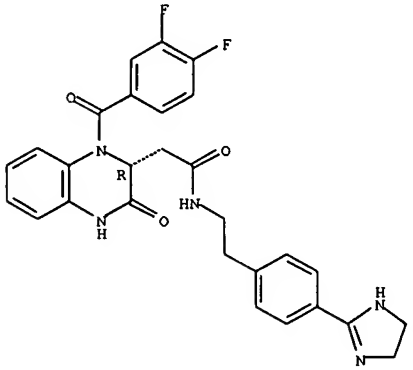
inflammation associated with the bradykinin B1 pathway.

IT **714564-84-8P 714564-89-3P 714565-38-5P**
714565-51-2P 714565-78-3P 714566-61-7P
714567-75-6P 714567-80-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.)

RN 714564-84-8 CAPLUS

CN 2-Quinoxalineacetamide, 1-(3,4-difluorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

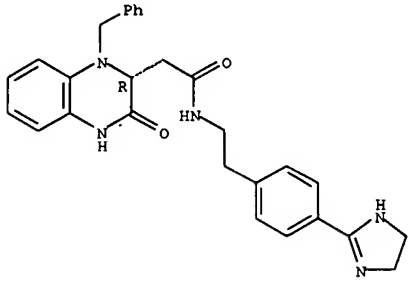
Absolute stereochemistry.



RN 714564-89-3 CAPLUS

CN 2-Quinoxalineacetamide, N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-1-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

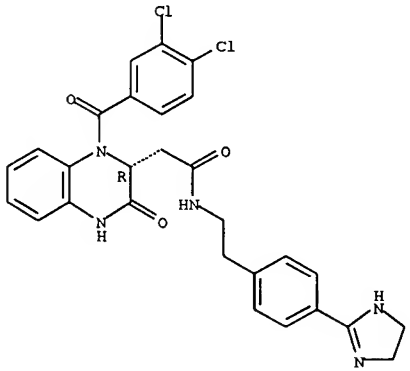
Absolute stereochemistry.



RN 714565-38-5 CAPLUS

CN 2-Quinoxalineacetamide, 1-(3,4-dichlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

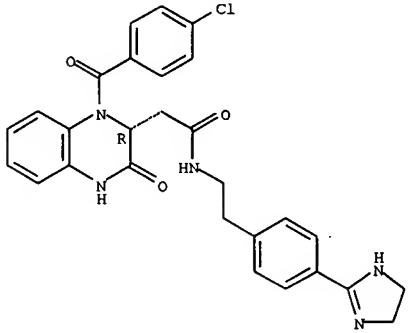
Absolute stereochemistry.



RN 714565-51-2 CAPLUS

CN 2-Quinoxalineacetamide, 1-(4-chlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

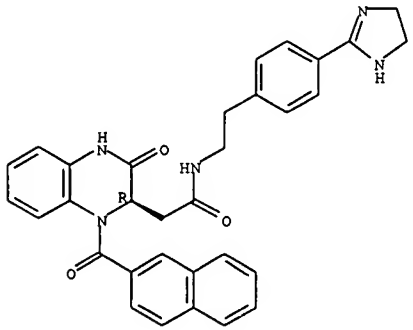
Absolute stereochemistry.



RN 714565-78-3 CAPLUS

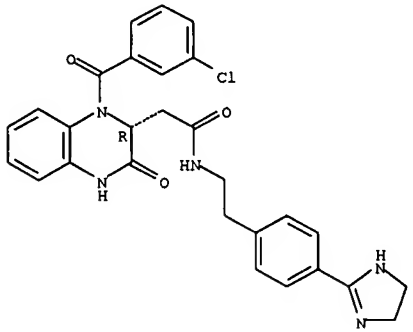
CN 2-Quinoxalineacetamide, N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylcarbonyl)-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



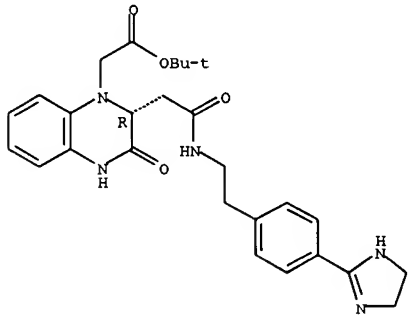
RN 714566-61-7 CAPLUS
CN 2-Quinoxalineacetamide, 1-(3-chlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



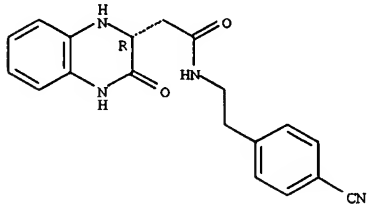
RN 714567-75-6 CAPLUS
CN 1(2H)-Quinoxalineacetic acid, 2-[2-[[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]amino]-2-oxoethyl]-3,4-dihydro-3-oxo-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



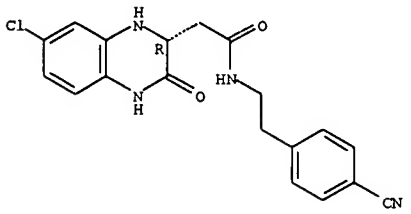
RN 714567-80-3 CAPLUS
CN 2-Quinoxalineacetamide, N-[2-(4-cyanophenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **714570-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.)
RN 714570-05-5 CAPLUS
CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4-cyanophenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



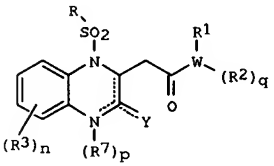
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:892758 CAPLUS
DOCUMENT NUMBER: 139:395948
TITLE: Preparation of sulfonylquinoxalone acetamide derivatives and related compounds as bradykinin antagonists
INVENTOR(S): Grant, Francine; Bartulis, Sarah; Brogley, Louie; Dappan, Michael S.; Kasar, Ramesh; Khan, Amin; Neitzel, Martin; Pleiss, Michael A.; Thorsett, Eugene D.; Tucker, John; Ye, Michael; Hawkinson, John
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 391 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

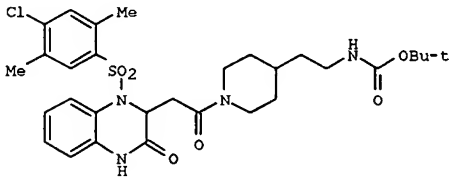
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093245	A1	20031113	WO 2003-US13805	20030502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483573	AA	20031113	CA 2003-2483573	20030502
US 2004147519	A1	20040729	US 2003-429203	20030502
US 2004147520	A1	20040729	US 2003-429917	20030502
EP 1501807	A1	20050202	EP 2003-726597	20030502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-378206P P 20020503
WO 2003-US13805 W 20030502

OTHER SOURCE(S): MARPAT 139:395948
GI



I

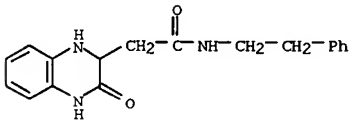


II

AB Title compds. I [wherein n = 0-4; p= 0-1; q = 0-1; Y = O, S, OR8, NHR8, NR8, or SR8; W = O, S, or N; when W = O or S, then q = 0; when W = N, then q = 1; R = (un)substituted (hetero)aryl or heterocyclyl; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclyl; or NR1R2 = (un)substituted (hetero)aryl or heterocyclyl; R3 = independently (un)substituted (cyclo)alkyl, alkenyl, alkynyl, amino, alkoxy, (hetero)aryl(oxy), heterocyclyl(oxy), acyl(oxy), halo, NO2, CN, OH, carboxy, or carbamoyl; R7 = H or (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); R8 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); with provisos; and pharmaceutically acceptable salts thereof] were prepared as bradykinin antagonists. For example, condensation of 2-[1-(4-chloro-2,5-dimethylbenzenesulfonyl)-3-oxo-1,2,3,4-tetrahydroquinoxalin-2-yl]acetic acid and 4-[2-(tert-butoxycarbonylamino)ethyl]piperidine in the presence of TEA and DPPA in DMF afforded II. Compds. of the invention inhibited the bradykinin B1 receptor in IMR-90 human lung fibroblast cells with IC50 values of 0.1 nM to 10,000 nM. Thus, I are useful for relieving symptoms associated with bradykinin, including pain, inflammation, bronchoconstriction, cerebral edema, etc. (no data).

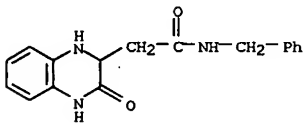
IT **625437-93-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (quinoxalinyl)acetamides and related compds. as bradykinin antagonists for treatment of pain, inflammation, and other disorders)

RN 625437-93-6 CAPLUS
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

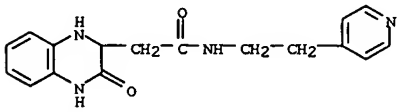


102(e) PUBLISHED APP'N.

IT 36932-43-1 625438-18-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (quinoxalinyl)acetamides and related compds. as bradykinin antagonists for treatment of pain, inflammation, and other disorders)
RN 36932-43-1 CAPLUS
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 625438-18-8 CAPLUS
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

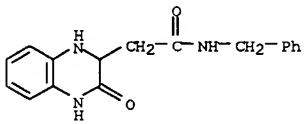


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:76620 CAPLUS
DOCUMENT NUMBER: 138:131142
TITLE: Tetrahydroquinoxalines acting as bradykinin antagonists, their preparation, and their therapeutic use
INVENTOR(S): Beyreuther, Bettina; Hahn, Michael; Kallus, Christopher; Kruger, Joachim; Meier, Heinrich; Reissmuller, Elke; Telan, Leila; Wittka-Nopper, Reilinde; Kroll, Mathias
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

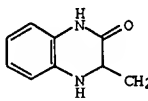
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007958	A1	20030130	WO 2002-EP7416	20020704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10134721	A1	20030206	DE 2001-10134721	20010717
CA 2454007	AA	20030130	CA 2002-2454007	20020704
EP 1411948	A1	20040428	EP 2002-762319	20020704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004536858	T2	20041209	JP 2003-513565	20020704
US 2004235849	A1	20041125	US 2004-483464	20040614
PRIORITY APPLN. INFO.:			DE 2001-10134721 A	20010717
			WO 2002-EP7416 W	20020704

OTHER SOURCE(S): MARPAT 138:131142
AB The invention discloses tetrahydroquinoxaline derivs., a method for producing them, and the use thereof for the treatment and/or prophylaxis of diseases, in particular for the treatment and/or prophylaxis of painful conditions. The compds. have an affinity for the bradykinin-1 receptor.
IT **36932-43-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tetrahydroquinoxaline bradykinin antagonists, preparation, and therapeutic use)
RN 36932-43-1 CAPLUS
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:583237 CAPLUS
DOCUMENT NUMBER: 115:183237
TITLE: 3-Substituted-2-tetrahydroquinoxalinones from reductive cyclodehydration of the hemiamides of 2-(2'-nitrophenylamino)butanoic acids
AUTHOR(S): Patel, Anjana; Smith, H. John; Sewell, Robert D. E.; Ahmadi, Masoud
CORPORATE SOURCE: Welsh Sch. Pharm., Cardiff, CF1 3XF, UK
SOURCE: Chemistry & Industry (London, United Kingdom) (1991), (17), 630-1
CODEN: CHINAG; ISSN: 0009-3068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:183237
GI



I

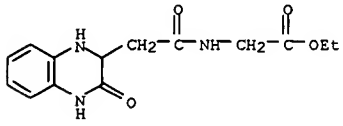
WOULD BE 102(e), BUT WIPO NOT PUB'D IN ENGLISH

AB The hemiamides o-O2NC6H4NHCH(COR)CH2COR1 (R = OH, NHCH2CO2H, NHCH2CO2Et; R1 = OH, NH2 or RR1 = NH) undergo a heterocyclization upon reduction with H2/Pd-charcoal to give tetrahydroquinoxalinones I.

IT **136584-16-2I 136584-17-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 136584-16-2 CAPLUS

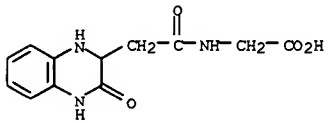
CN Glycine, N-[(1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl)acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



NO.

RN 136584-17-3 CAPLUS

CN Glycine, N-[(1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl)acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1973:136227 CAPLUS

DOCUMENT NUMBER: 78:136227

TITLE: Condensed and bound quinoxalines. IV. New pathway to arylamides of (1,2-dihydro-2-oxo-3-quinoxalyl) acetic acid

AUTHOR(S): Romanenko, V. D.; Kul'chitskaya, N. E.; Burmistrov, S. I.

CORPORATE SOURCE: Dnepropetr. Khim.-Tekhnol. Inst., Dnepropetrovsk, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1973), (2), 264-6
CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

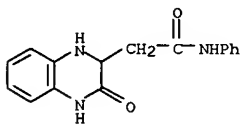
LANGUAGE: Russian

AB N-Aryl-1,2,3,4-tetrahydro-2-oxo-3-quinoxalineacetamides [I; R = Ph, p-MeC6H4, p-MeOC6H4, p-EtOC6H4, PhCH2, o-O2N-C6H4, o-ClC6H4, 2,5-(MeO)ClC6H3] were prepared in 70-80% yields by heating o-(H2N)2C6H4 with the appropriate N-aryl-maleimides in aqueous alc. Boiling I in PhMe with chloranil gave 90-5% of the corresponding dihydroquinoxalineacetamides (II).

IT **36932-40-8I 36932-43-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 36932-40-8 CAPLUS

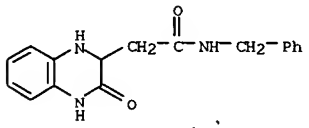
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-phenyl- (9CI) (CA INDEX NAME)



THIS ONE PROVISOED OUT OF CL. 1.

RN 36932-43-1 CAPLUS

CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



~~2000~~ 102(b) CL. 4, LAST SP. CL'D.

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:405524 CAPLUS

DOCUMENT NUMBER: 77:5524

TITLE: (1,2,3,4-Tetrahydro-3-Oxo-2-quinoxalyl)acetic acid arylamides

INVENTOR(S): Burmistrov, S. I.; Kul'chitskaya, N. E.; Romanenko, V. D.

PATENT ASSIGNEE(S): Dzerzhinskii, F. E., Chemical-Technological Institute, Dnepropetrovsk

SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Tovarnye Znaki 1972, 49(5), 70-1.
CODEN: URXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

NEED ...

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 327202		19720126	SU	19700716

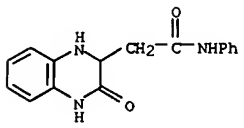
GI For diagram(s), see printed CA Issue.

AB The title compds. (I, R = Ph, p-tolyl, o-nitrophenyl, benzyl, p-methoxyphenyl, 2-methoxy-5-chlorophenyl) were prepared by treating aromatic o-diamines with maleic acid N-arylamides in an organic solvent at 100°.

IT **36932-40-8I 36932-43-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 36932-40-8 CAPLUS

CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 36932-43-1 CAPLUS
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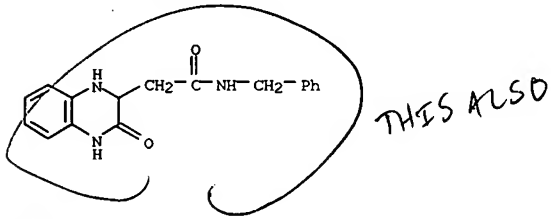

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FULL ESTIMATED COST	48.51	211.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.57	-6.57

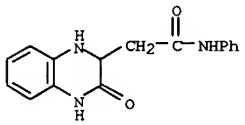
=> d 9 ibib abs hitstr

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:405524 CAPLUS
DOCUMENT NUMBER: 77:5524
TITLE: (1,2,3,4-Tetrahydro-3-Oxo-2-quinoxalyl)acetic acid arylamides
INVENTOR(S): Burmistrov, S. I.; Kul'chitskaya, N. E.; Romanenko, V. D.
PATENT ASSIGNEE(S): Dzerzhinskii, F. E., Chemical-Technological Institute, Dnepropetrovsk
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Tovarnye Znaki 1972, 49(5), 70-1.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 327202		19720126	SU	19700716

GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = Ph, p-tolyl, o-nitrophenyl, benzyl, p-methoxyphenyl, 2-methoxy-5-chlorophenyl) were prepared by treating aromatic o-diamines with maleic acid N-arylamides in an organic solvent at 100°.
IT **36932-40-8I 36932-43-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 36932-40-8 CAPLUS
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-phenyl- (9CI) (CA INDEX NAME)



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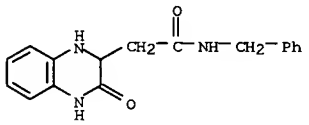
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



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                   data from INPADOC
 NEWS  5  FEB 28   BABS - Current-awareness alerts (SDIs) available
 NEWS  6  FEB 28   MEDLINE/LMEDLINE reloaded
 NEWS  7  MAR 02   GBFULL: New full-text patent database on STN
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                   U.S. patent records in CA/CAplus

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               AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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FULL ESTIMATED COST                                     0.21       0.21

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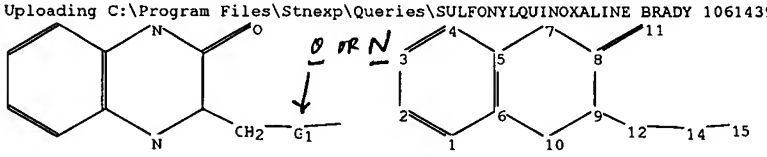

LAST SEARCH

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chain nodes :
11  12  14  15
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
8-11  9-12  12-14  14-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
```

exact/norm bonds :
5-7 6-10 7-8 8-9 8-11 9-10 12-14 14-15
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

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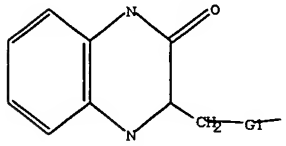
L2 QUE L1

=> D L2
L2 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.
L2 QUE ABB=ON PLU=ON L1

=> S L2
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SAMPLE SCREEN SEARCH COMPLETED - 100 TO ITERATE

100.0% PROCESSED 100 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1401 TO 2599
PROJECTED ANSWERS: 2 TO 124

L3 2 SEA SSS SAM L1

=> S L2 SSS FULL
FULL SEARCH INITIATED 12:23:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2134 TO ITERATE

100.0% PROCESSED 2134 ITERATIONS 61 ANSWERS
SEARCH TIME: 00.00.01

L4 61 SEA SSS FUL L1

=> FILE CAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	161.54

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=> S L4
L5 17 L4

=> D 1-17 IBIB ABS HITSTR

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:78230 CAPLUS
DOCUMENT NUMBER: 142:176869
TITLE: A preparation of quinoxaline derivatives, useful as bradykinin antagonists
INVENTOR(S): Su, Dai-Shi; Bock, Mark G.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICANTS

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005020591	A1	20050127	US 2003-614390		20030707
PRIORITY APPLN. INFO.:			US 2002-433147P	P	20021213

OTHER SOURCE(S): MARPAT 142:176869
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

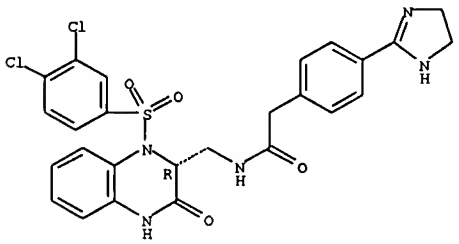
AB The invention relates to a preparation of quinoxaline derivs. of formula I [wherein: X is C(O)NH, C(O)O, S, CH:CH, or C(O), etc.; R1 is pyrrolidine, piperazine, morpholine, or (CH2)1-4CN, etc.; R2 is H, (CH2)1-4CO2H, or

SO1-2-(H/alkyl), etc.; R3 is H or halogen; R4 is H, (halo)alkyl, or cycloalkyl, etc.], useful as bradykinin antagonists. For instance, quinoxaline derivative II was prepared via amidation of [(dichlorophenylsulfonyl)quinoxalinyl]acetate derivative III by 4-(2-aminoethyl)benzonitrile and subsequent heterocyclization with ethylenediamine (yields: amidation - 58%, heterocyclization - 51%). The compds. of this invention have affinity for B1 receptor of less than 5 μM. The affinity for the B1 receptor is at least 10 fold, and preferably over 100 fold, over that for the B2 receptor.

IT **714564-60-0I 714567-95-0I 714568-01-1P**
714568-06-6I 714568-21-5I 714568-25-9P
714568-29-3I 832744-52-2I 832745-16-1P
832745-21-8I 832745-24-1I 832745-26-3P
832745-30-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxaline derivs. useful as bradykinin antagonists)

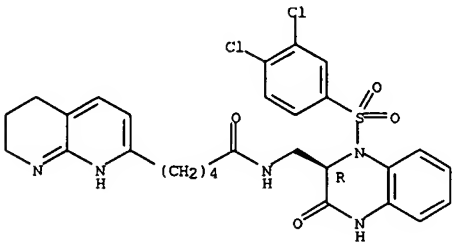
RN 714564-60-0 CAPLUS
CN Benzeneacetamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-4-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



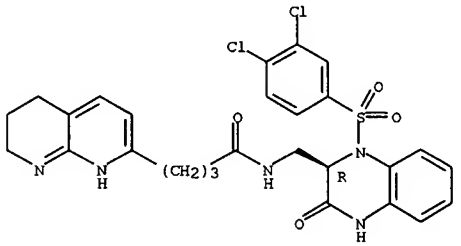
RN 714567-95-0 CAPLUS
CN 1,8-Naphthyridine-2-pentanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



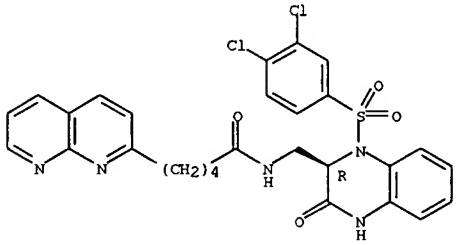
RN 714568-01-1 CAPLUS
CN 1,8-Naphthyridine-2-butanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



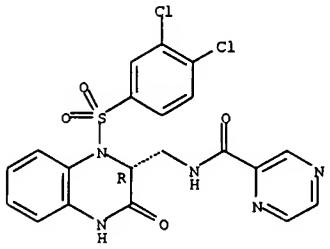
RN 714568-06-6 CAPLUS
CN 1,8-Naphthyridine-2-pentanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



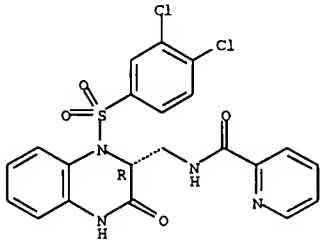
RN 714568-21-5 CAPLUS
CN Pyrazinecarboxamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



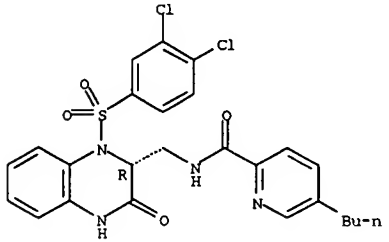
RN 714568-25-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



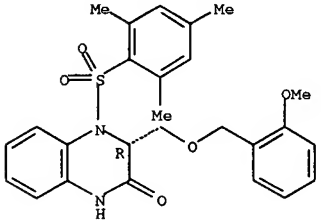
RN 714568-29-3 CAPLUS
CN 2-Pyridinecarboxamide, 5-butyl-N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



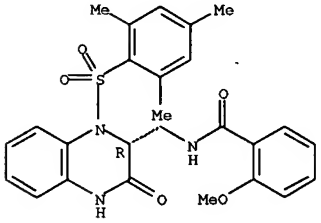
RN 832744-52-2 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[[(2-methoxyphenyl)methoxy]methyl]-4-[(2,4,6-trimethylphenyl)sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



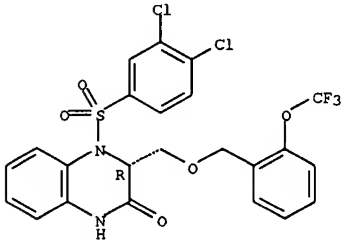
RN 832745-16-1 CAPLUS
CN Benzamide, 2-methoxy-N-[[(2R)-1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



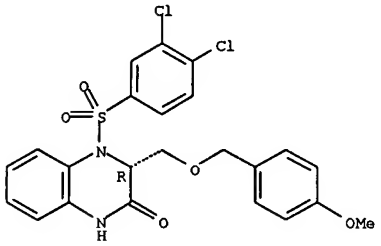
RN 832745-21-8 CAPLUS
CN 2(1H)-Quinoxalinone, 4-[(3,4-dichlorophenyl)sulfonyl]-3,4-dihydro-3-[[[2-(trifluoromethoxy)phenyl]methoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



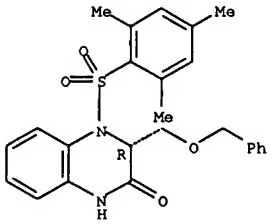
RN 832745-24-1 CAPLUS
CN 2(1H)-Quinoxalinone, 4-[(3,4-dichlorophenyl)sulfonyl]-3,4-dihydro-3-[[(4-methoxyphenyl)methoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



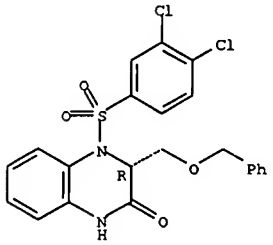
RN 832745-26-3 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[(phenylmethoxy)methyl]-4-[(2,4,6-trimethylphenyl)sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



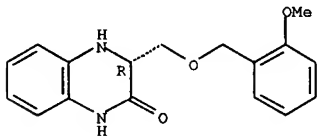
RN 832745-30-9 CAPLUS
CN 2(1H)-Quinoxalinone, 4-[(3,4-dichlorophenyl)sulfonyl]-3,4-dihydro-3-[(phenylmethoxy)methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **832744-53-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxaline derivs. useful as bradykinin antagonists)
RN 832744-53-3 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[[(2-methoxyphenyl)methoxy]methyl]-, (3R)- (9CI) (CA INDEX NAME)

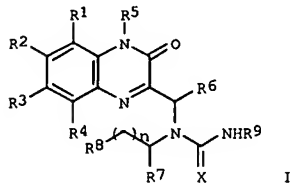
Absolute stereochemistry.



L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:965230 CAPLUS
DOCUMENT NUMBER: 141:410961
TITLE: Preparation of quinoxalinone derivatives as orexin receptor antagonists
INVENTOR(S): Aissaoui, Hamed; Clozel, Martine; Weller, Thomas; Koberstein, Ralf; Sifferlen, Thierry
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.; Fischli, Walter
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096780	A1	20041111	WO 2004-EP4374	20040426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: WO 2003-EP4491 A 20030428
OTHER SOURCE(S): MARPAT 141:410961
GI



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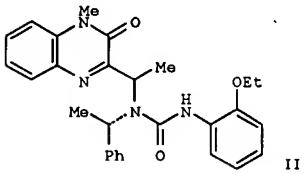


II

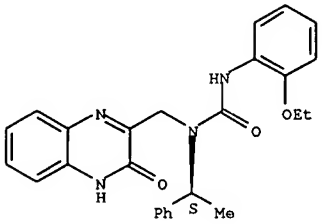
AB Title compds. represented by the formula I [wherein R1-R4 = independently cyano, halo, OH, alkyl, etc.; R5 = H, (cyclo)alkyl, alkenyl, etc.; R6 = H, (cyclo)alkyl, cycloalkylalkyl; R7 = H, alkyl, alkenyl, (un)substituted Ph, etc.; R8 = (un)substituted Ph or pyridinyl; R9 = (cyclo)alkyl, alkenyl, cycloalkylalkyl, (un)substituted phenylalkyl, etc; X = O, NH, N-CH; n = 0-3; and their optically pure or mixture of enantiomers/diastereoisomers, pharmaceutically acceptable salts thereof] were prepared as orexin (OX) receptor antagonists. For example, II was given in a multi-step synthesis starting from the reaction of N-methyl-1,2-phenylenediamine with pyruvic acid. I showed an average antagonistic activity of OX1 and OX2 receptor with IC50 values of 1 nM to 100 nM. Thus, I and their pharmaceutical compns. are useful as orexin receptor antagonists for the treatment of disorders which are associated with the role of orexin, comprising eating disorders and sleep disorders, cardiovascular disorders, cancer, pain, depression, schizophrenia or neurodegenerative disorders (no data).

IT **791068-09-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinone derivs. as orexin receptor antagonists)

RN 791068-09-2 CAPLUS

CN Urea, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-N'-(2-ethoxyphenyl)-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

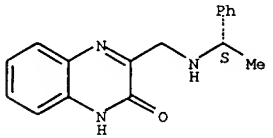


IT **791068-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxalinone derivs. as orexin receptor antagonists)

RN 791068-42-3 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[[[(1S)-1-phenylethyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:531363 CAPLUS

DOCUMENT NUMBER: 141:89112

TITLE: Preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.

INVENTOR(S): Su, Dai-shi; Bock, Mark G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054584	A1	20040701	WO 2003-US39058	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132733	A1	20040708	US 2003-614539	20030707
PRIORITY APPLN. INFO.:			US 2002-433146P	P 20021213

OTHER SOURCE(S): MARPAT 141:89112

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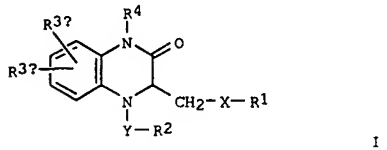
= Id RELATED APP'N. --



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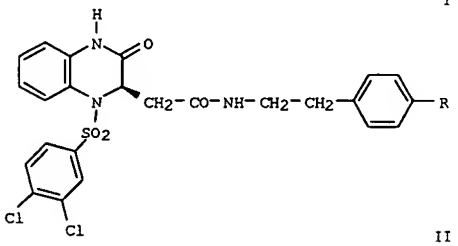


II

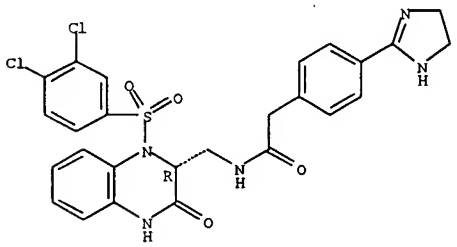
AB Title compds. I [X = (CH2)mCONRb, (CH2)mNRbCO, (CH2)mCO2, etc.; m = 0-2; Rb = H, alkyl; Y = CO, CO2, SO2, etc.; R1 = (un)substituted (CH2)n-phenyl; n = 0-10; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3a, R3b = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of ethylene diamine and cyanophenyl II [R = CN], e.g., prepared from di-Me D-aspartate in 5-steps, afforded dihydro-1H-imidazol II [R = C=NCH2CH2NH-] in 51% yield. In human bradykinin B1-B2 receptor binding assays, compds. I exhibited affinity for the B1 receptor at least 10-fold, and preferably over 100-fold, over that for the B2 receptor (sic). Compds. I are claimed useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway.

IT **714564-60-0I 714567-95-0I 714568-01-1P**
714568-06-6I 714568-21-5I 714568-25-9P
714568-29-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.)

RN 714564-60-0 CAPLUS

CN Benzeneacetamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-4-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

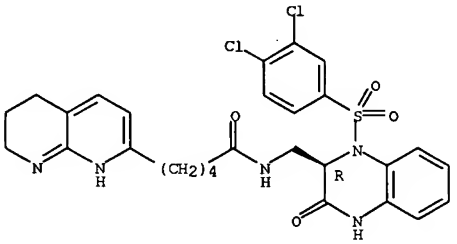
Absolute stereochemistry.



RN 714567-95-0 CAPLUS

CN 1,8-Naphthyridine-2-pentanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

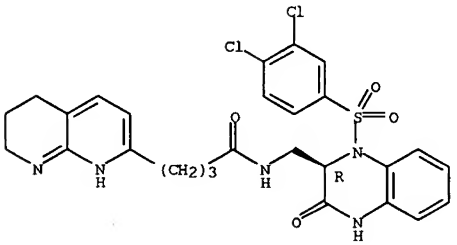
Absolute stereochemistry.



RN 714568-01-1 CAPLUS

CN 1,8-Naphthyridine-2-butanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

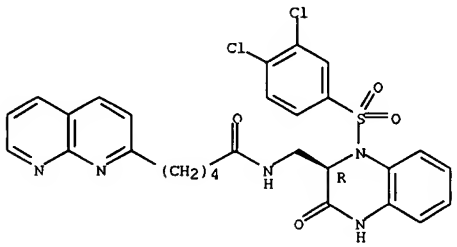


RN 714568-06-6 CAPLUS

CN 1,8-Naphthyridine-2-pentanamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-

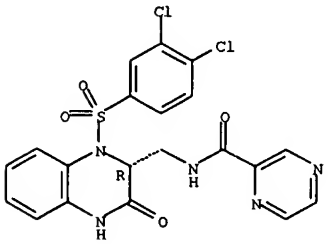
1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



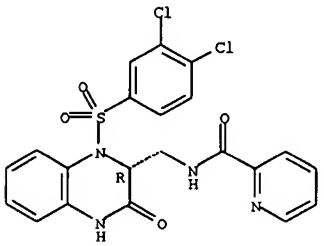
RN 714568-21-5 CAPLUS
CN Pyrazinecarboxamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



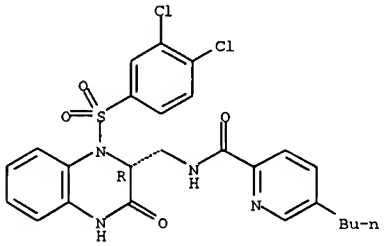
RN 714568-25-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714568-29-3 CAPLUS
CN 2-Pyridinecarboxamide, 5-butyl-N-[[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

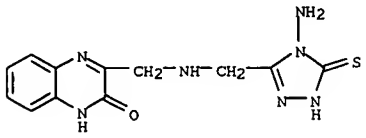


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

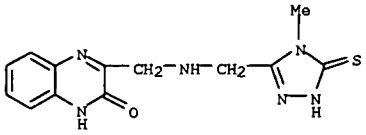
L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:551794 CAPLUS
DOCUMENT NUMBER: 138:24690
TITLE: Synthesis of new quinoxaline derivatives
AUTHOR(S): Sayed, H. H.; Bassyouni, F. A.; Ismail, I. Imam
CORPORATE SOURCE: National Res. Centre, Cairo, Egypt
SOURCE: Afinidad (2002), 59(499), 242-248
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24690

AB The sugar hydrazone of quinoxalinone derivs. were produced via reactions of the acid hydrazide (I) with arabinose, mannose and glucose, resp. The triazolyl quinoxalinone derivative was formed via the reactions of I with methyl-isothiocyanate yielding the Me-substituted thiosemicarbazide derivative of quinoxalinone followed by cyclization with NaOH solution Reaction of I with phenyl-isothiocyanate afforded Ph-substituted thiosemicarbazide derivative of quinoxalinone. Reaction of I with CS2 and KOH gave either the oxadiazolyl quinoxalinone derivative or the potassium thiocarbazate of quinoxalinone (II) depending on the reaction conditions. Fusion of II with hydrazine hydrate gave the 1,2,4-triazolyl derivative of quinoxalinone. The 1,2,4-triazoloquinoxalines were synthesized through the reactions of 2-hydrazinoquinoxaline (III) with Cs2, Et chloroformate, formic acid and p-chlorobenzaldehyde. Et chloroacetate reacted with III to give the triazinoquinoxaline via the intermediate quinoxalinonyl acetohydrazide.

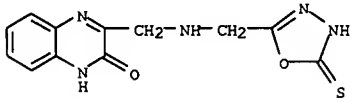
IT **478189-60-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation by cyclization of potassium carbazate derivative of quinoxalinone
with hydrazine hydrate)
RN 478189-60-5 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[[[(4-amino-4,5-dihydro-5-thioxo-1H-1,2,4-triazol-3-yl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



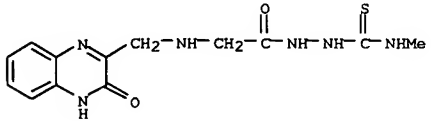
IT **478189-58-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation by cyclization of quinoxalinonyl methyl(amino)acetohydrazide with Me isothiocyanate)
RN 478189-58-1 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[[[(4,5-dihydro-4-methyl-5-thioxo-1H-1,2,4-triazol-3-yl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



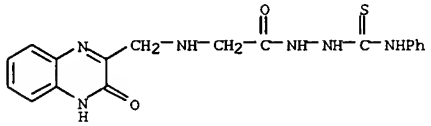
IT **478189-59-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation by cyclization of quinoxalinonyl methyl(amino)acetohydrazide with carbon disulfide and potassium hydroxide)
RN 478189-59-2 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[[[(4,5-dihydro-5-thioxo-1,3,4-oxadiazol-2-yl)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



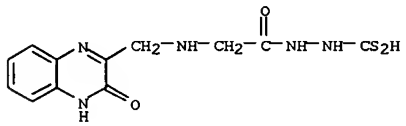
IT **478189-57-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation by reaction of quinoxalinonyl methyl(amino)acetohydrazide with Me isothiocyanate)
RN 478189-57-0 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-, 2-[(methylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



IT **478189-56-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation by reaction of quinoxalinonyl methyl(amino)acetohydrazide with Ph isothiocyanate)
RN 478189-56-9 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-, 2-[(phenylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



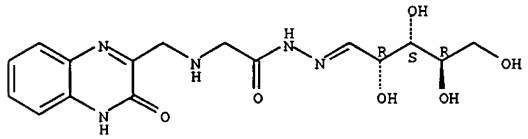
IT **478189-61-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation by reaction of quinoxalinonyl methyl(amino)acetohydrazide with carbon disulfide and cyclization with hydrazine hydrate)
RN 478189-61-6 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-, 2-(dithiocarboxy)hydrazide, monopotassium salt (9CI) (CA INDEX NAME)



● K

IT **478189-53-6P 478189-54-7P 478189-55-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation by reaction of quinoxalinonyl methyl(amino)acetohydrazide with sugar)
RN 478189-53-6 CAPLUS
CN Arabinose, [[[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]amino]acetyl]hydrazone (9CI) (CA INDEX NAME)

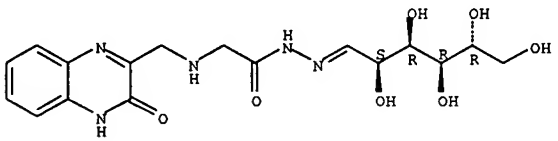
Relative stereochemistry.
Double bond geometry unknown.



RN 478189-54-7 CAPLUS

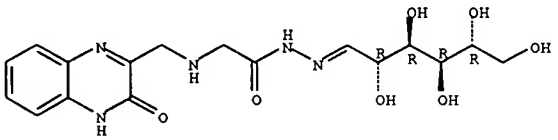
CN D-Glucose, [[[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]amino]acetyl]hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

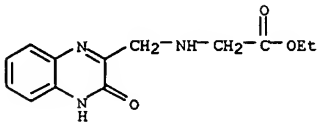


RN 478189-55-8 CAPLUS
CN D-Mannose, [[[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]amino]acetyl]hydrazone (9CI) (CA INDEX NAME)

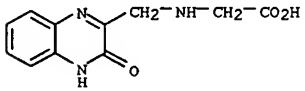
Absolute stereochemistry.
Double bond geometry unknown.



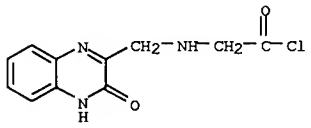
IT **478189-49-0P 478189-50-3P 478189-51-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxalinonyl methyl(amino)acetohydrazide)
RN 478189-49-0 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



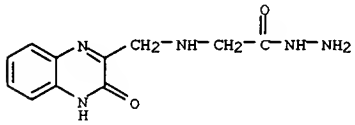
RN 478189-50-3 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]- (9CI) (CA INDEX NAME)



RN 478189-51-4 CAPLUS
CN Acetyl chloride, [[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]amino]- (9CI) (CA INDEX NAME)



IT **478189-52-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sugar hydrazone of quinoxalinone derivs.)
RN 478189-52-5 CAPLUS
CN Glycine, N-[(3,4-dihydro-3-oxo-2-quinoxalinyl)methyl]-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

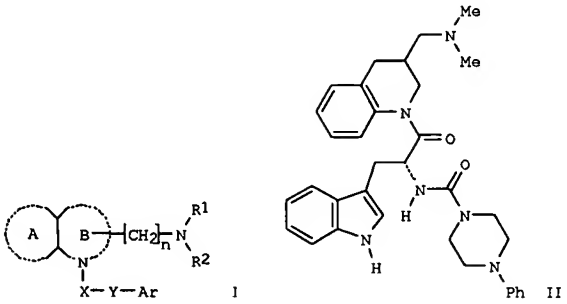
L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:672759 CAPLUS
DOCUMENT NUMBER: 131:286420
TITLE: Preparation of amine compounds as somatostatin receptor antagonists or agonists
INVENTOR(S): Suzuki, Nobuhiro; Kato, Kaneyoshi; Takekawa, Shiro; Terauchi, Jun; Endo, Satoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952875	A1	19991021	WO 1999-JP1871	19990408
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327695	AA	19991021	CA 1999-2327695	19990408
AU 9952655	A1	19991101	AU 1999-52655	19990408
JP 2000226373	A2	20000815	JP 1999-100828	19990408
EP 1070054	A1	20010124	EP 1999-945683	19990408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI

US 6329389	B1	20011211	US 1999-424285		19991119
PRIORITY APPLN. INFO.:			JP 1998-96422	A	19980408
			JP 1998-345328	A	19981204
			WO 1999-JP1871	W	19990408

OTHER SOURCE(S): MARPAT 131:286420
GI



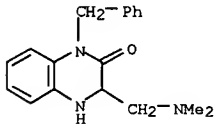
I

II

AB The title compds. [I; Ar = (un)substituted aromatic; X = CH2, S, SO, SO2, CO; Y = a spacer having a main chain of 2-5 atoms; n = 1-5; R1, R2 = H, lower alkyl; NR1R2 = (un)substituted nitrogen-containing heterocyclic ring; R1 or R2 together with -(CH2)n-N= form, bonded to a component atom of Ring B, a spiro-ring which may be substituted; Ring A = (un)substituted aromatic; Ring B = (un)substituted 4-7 membered nitrogen-containing non-aromatic ring, with a proviso that X = S, SO, SO2, CO when Ring A has as a substituent a group -NHCOR11 (wherein R11 = alkyl, alkoxyalkyl, alkylthioalkyl, etc.) or a group NHR12 (R12 = alkyl, cycloalkyl, cycloalkylalkyl, etc.)] or their salts which have an excellent somatostatin receptor binding inhibition action and are useful for preventing or treating glaucoma, acromegaly, diabetes, diabetic complications or tumor, and as analgesics, were prepared Thus, treatment of 1-[2-(R)-amino-3-(indol-3-yl)propanoyl]-3-(R,S)-(N,N-dimethylamino)methyl-1,2,3,4-tetrahydroquinoline (preparation described) with N,N'-disuccinimidyl carbonate and N-ethyldiisopropylamine in THF followed by the addition of solution of 1-phenylpiperazine and N-ethyldiisopropylamine in THF afforded II which showed IC50 of 0.009μM and 0.0008 μM against SSTR2 and SSTR3 binding, resp.

IT **246867-86-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amine compds. as somatostatin receptor antagonists or agonists)

RN 246867-86-7 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[(dimethylamino)methyl]-3,4-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

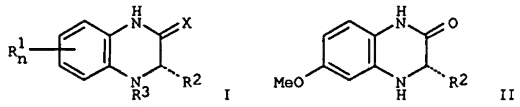


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:379661 CAPLUS
DOCUMENT NUMBER: 125:58539
TITLE: Preparation of quinoxalinones as antiviral agents
INVENTOR(S): Roesner, Manfred; Billhardt-Troughton, Uta-Maria; Kirsch, Reinhard; Kleim, Joerg-Peter; Meichsner, Christoph; Riess, Guenther; Winkler, Irvin
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 708093	A1	19960424	EP 1995-116094	19951012
EP 708093	B1	20010117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4437406	A1	19960425	DE 1994-4437406	19941019
AT 198747	E	20010215	AT 1995-116094	19951012
ES 2154311	T3	20010401	ES 1995-116094	19951012
PT 708093	T	20010629	PT 1995-116094	19951012
FI 9504946	A	19960420	FI 1995-4946	19951017
AU 9534316	A1	19960502	AU 1995-34316	19951017
AU 708293	B2	19990729		
US 5723461	A	19980303	US 1995-544290	19951017
CA 2160859	AA	19960420	CA 1995-2160859	19951018
NO 9504139	A	19960422	NO 1995-4139	19951018
ZA 9508783	A	19960509	ZA 1995-8783	19951018
HU 73485	A2	19960828	HU 1995-3005	19951018
CN 1135483	A	19961113	CN 1995-120372	19951018
CN 1094930	B	20021127		
HR 950524	B1	20020630	HR 1995-950524	19951018
PL 184860	B1	20030131	PL 1995-311016	19951018
JP 08225544	A2	19960903	JP 1995-271019	19951019
BR 9504456	A	19970520	BR 1995-4456	19951019
HK 1011988	A1	20010928	HK 1998-113241	19981212
GR 3035673	T3	20010629	GR 2001-400523	20010330

PRIORITY APPLN. INFO.: DE 1994-4437406 A 19941019
OTHER SOURCE(S): MARPAT 125:58539
GI



I

II

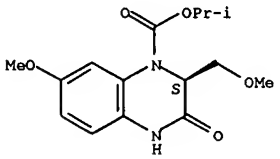
AB Title compds. [tautomeric I; R1 = F, Cl, OH, alkoxy; R2 = (hydroxy)alkyl, alkoxy, alkylthio; R3 = alkoxycarbonyl, alkenyloxycarbonyl; X = O, S, Se; n = 0-2] were prepared Thus, L-cysteine was N-arylated with 2,4-F2C6H3NO2 and the etherified product reductively cyclized to give, after N-acylation, title compound II (R2 = SMe). II (R2 = Et) had MIC of <1ng/mL against HIV activity in T-cell culture.

IT **178041-01-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoxalinones as antiviral agents)

RN 178041-01-5 CAPLUS

CN 1(2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-7-methoxy-2-(methoxymethyl)-3-oxo-, 1-methylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

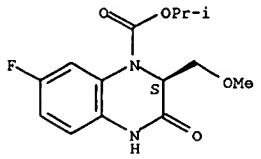


IT **178041-23-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinones as antiviral agents)

RN 178041-23-1 CAPLUS

CN 1(2H)-Quinoxalinecarboxylic acid, 7-fluoro-3,4-dihydro-2-(methoxymethyl)-3-oxo-, 1-methylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

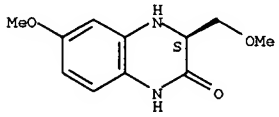


IT **178041-74-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxalinones as antiviral agents)

RN 178041-74-2 CAPLUS

CN 2(1H)-Quinoxalinone, 3,4-dihydro-6-methoxy-3-(methoxymethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:812971 CAPLUS

DOCUMENT NUMBER: 123:228218

TITLE: Combination of quinoxalines and nucleosides for treating viral infection and preparation of the quinoxalines.

INVENTOR(S): Meichsner, Christoph; Riess, Guenther; Kleim, Joerg Peter; Roesner, Manfred; Paessens, Arno; Blunck, Martin

PATENT ASSIGNEE(S): Hoechst A.-G., Germany; Aventis Pharma Deutschland GmbH

SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

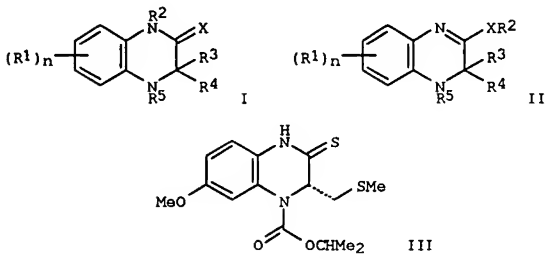
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 657166	A1	19950614	EP 1994-119146	19941205
EP 657166	B1	20030409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4342024	A1	19950614	DE 1993-4342024	19931209
AT 236642	E	20030415	AT 1994-119146	19941205
CN 1108935	A	19950927	CN 1994-119877	19941207
CA 2137605	AA	19950610	CA 1994-2137605	19941208
AU 9480421	A1	19950615	AU 1994-80421	19941208
AU 697486	B2	19981008		
ZA 9409785	A	19950712	ZA 1994-9785	19941208
JP 07196511	A2	19950801	JP 1994-330455	19941208
HU 70037	A2	19950928	HU 1994-3518	19941208
HU 221498	B	20021028		

PRIORITY APPLN. INFO.: DE 1993-4342024 A 19931209

OTHER SOURCE(S): CASREACT 123:228218; MARPAT 123:228218

GI

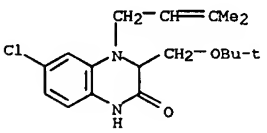


I II III

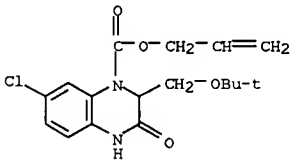
AB Combinations of ≥1 nucleoside and ≥1 quinoxaline [I, II; n = 0-4; R1 = F, Cl, Br, iodo, CF3, OCF3, OH, alkyl, cycloalkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, piperidino, amino, NO2, N3, thiomorpholino, cyano, acyloxy, acylamino, carbamoyl, CO2H, (substituted) Ph, PhO, PhO2C, PhS, pyridyl, etc.; R2, R5 = H, OH, alkoxy, aryloxy, acyloxy, cyano, amino, alkylamino, dialkylamino, arylamino, acylamino, (substituted) alkyl, alkenyl, allenyl, alkynyl, etc.; R3, R4 = H, (substituted) alkyl, alkenyl, cycloalkyl, cyclolalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R3R4, R3R5 = atoms to form a (substituted) (unsatd.) (heterocyclic) ring; X = O, S, Se, NR2], are claimed. Thus, 2,4-dichloronitrobenzene was refluxed with alanine in 2-methoxyethanol/aqueous NaOH to give 55% (S)-N-(3-chloro-6-nitrophenyl)alanine. The latter was hydrogenated in MeOH over Raney Ni to give (3S)-6-chloro-3-methyl-3,4-dihydroquinoxalin-2(1H)-one. Title compound (III) at 1-12 nM synergized the anti-HIV activity of AZT.

IT **146739-05-1P 146739-06-2P 146741-13-1P**
168173-91-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination of quinoxalines and nucleosides for treating viral infection and preparation of the quinoxalines)

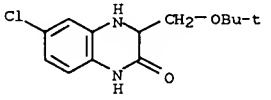
RN 146739-05-1 CAPLUS
CN 2(1H)-Quinoxalinone, 6-chloro-3-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-4-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



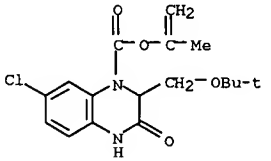
RN 146739-06-2 CAPLUS
CN 1(2H)-Quinoxalinecarboxylic acid, 7-chloro-2-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 146741-13-1 CAPLUS
CN 2(1H)-Quinoxalinone, 6-chloro-3-[(1,1-dimethylethoxy)methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 168173-91-9 CAPLUS
CN 1(2H)-Quinoxalinecarboxylic acid, 7-chloro-2-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-3-oxo-, 1-methylethenyl ester (9CI) (CA INDEX NAME)

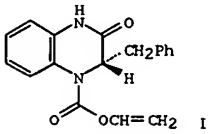


L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:234088 CAPLUS
DOCUMENT NUMBER: 118:234088
TITLE: 3,4-dihydro-2-quinoxalinones, 3,4-dihydro-2-quinoxalinethiones and analogs, methods for their preparation and their use as virucides
INVENTOR(S): Billhardt, Uta Maria; Roesner, Manfred; Riess, Guenther; Winkler, Irvin; Bender, Rudolf
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 111 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 509398	A1	19921021	EP 1992-106158	19920409
EP 509398	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
DE 4142322	A1	19930701	DE 1991-4142322	19911220
AT 205837	E	20011015	AT 1992-106158	19920409

PT 509398	T	20020228	PT 1992-106158		19920409
ES 2164639	T3	20020301	ES 1992-106158		19920409
IL 101583	A1	20000716	IL 1992-101583		19920413
CA 2065985	AA	19921016	CA 1992-2065985		19920414
AU 9214853	A1	19921022	AU 1992-14853		19920414
AU 654178	B2	19941027			
ZA 9202722	A	19921125	ZA 1992-2722		19920414
CZ 293825	B6	20040818	CZ 1992-1136		19920414
HU 61004	A2	19921130	HU 1992-1288		19920415
JP 05148243	A2	19930615	JP 1992-119936		19920415
US 6369057	B1	20020409	US 1995-418896		19950407
HK 1011971	A1	20020517	HK 1998-113024		19981209
PRIORITY APPLN. INFO.:			DE 1991-4112234	A	19910415
			DE 1991-4142322	A	19911220
			US 1992-867512	B2	19920413
			US 1993-140896	B1	19931025

OTHER SOURCE(S): CASREACT 118:234088; MARPAT 118:234088
GI

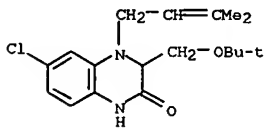


I

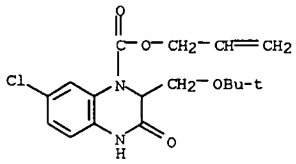
AB Some 3,4-dihydro-2-quinoxalinone derivs. and 3,4-dihydro-2-quinoxalinethione derivs. and nitrogen and selenium analogs thereof are claimed. Also claimed are 1,2,3,4-tetrahydro-2-(alkoxy)quinoxalines and 1,2,3,4-tetrahydro-2-(alkylthio)quinoxalines and selenium and nitrogen analogs thereof. A process for the preparation of said compds. is claimed. The use of said compds. as virucides, especially for the inhibition of HIV, is claimed. Acylation of (S)-3-benzyl-7-chloro-3,4-dihydroquinoxalin-2(1H)-one with vinyl chloroformate gave (S)-3-benzyl-7-chloro-3,4-dihydro-4-[(vinyloxy)carbonyl]quinoxalin-2(1H)-one (I). The min. inhibitory concentration of I for HIV-infected lymphocytes (5x105 cells/mL) was <0.1μg/mL. I inhibited HIV reverse transcriptase.

IT **146739-05-1P 146739-06-2P 146739-07-3P**
146741-13-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide (HIV inhibitor))

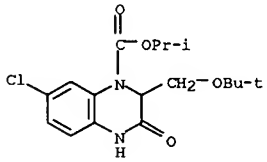
RN 146739-05-1 CAPLUS
CN 2(1H)-Quinoxalinone, 6-chloro-3-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-4-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



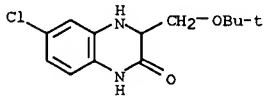
RN 146739-06-2 CAPLUS
CN 1(2H)-Quinoxalinecarboxylic acid, 7-chloro-2-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 146739-07-3 CAPLUS
CN 1(2H)-Quinoxalinecarboxylic acid, 7-chloro-2-[(1,1-dimethylethoxy)methyl]-3,4-dihydro-3-oxo-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 146741-13-1 CAPLUS
CN 2(1H)-Quinoxalinone, 6-chloro-3-[(1,1-dimethylethoxy)methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1983:179330 CAPLUS
DOCUMENT NUMBER:	98:179330
TITLE:	Reaction of quinoxaline derivatives with nucleophilic reagents
AUTHOR(S):	Badr, Mahmoud Zarif Amin; El-Naggar, Galal Mohamed; El-Sherief, Hassan Ahmad Hassan; Abdel-Rahman, Abdou El-Sayed; Aly, Moustafa Fouzy
CORPORATE SOURCE:	Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE:	Bulletin of the Chemical Society of Japan (1983), 56(1), 326-30 CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 98:179330

GI

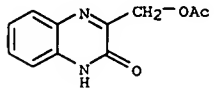
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Treatment of 2-chloro-3-methylquinoxaline with aromatic amines in basic medium gave aminoquinoxalines I (R = H, Me, Cl) and with HSCH2CO2H gave thioether II. Condensation of 3-methyl-2(1H)-quinoxalinone with aromatic aldehydes gave styrylquinoxalines III (R1 = H, Me, Me2N, Cl, HO, NO2) which added Br2 in HOAc to give dibromo derivs. which reacted with morpholine, NaOMe, and piperidine to give phenethylquinoxalines IV (R1 = 4-MeO, R2 = morpholino; R1 = 4-NO2, R2 = MeO) and V. 3-(Bromomethyl)-2(1H)-quinoxalinone underwent nucleophilic substitution with aromatic amines, Na saccharine, and K phthalimide, and 3-methyl-2(1H)-quinoxalinethione underwent S-alkylation by Me2SO4 and ClCH2CO2H and BrCH2CH2CO2H.

IT **85516-34-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 85516-34-3 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[(acetyloxy)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1974:491579 CAPLUS

DOCUMENT NUMBER: 81:91579

TITLE: Quinoxalines

INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 49024984	A2	19740305	JP 1972-63689		19720627
PRIORITY APPLN. INFO.:			JP 1972-63689	A	19720627

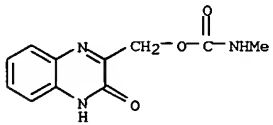
GI For diagram(s), see printed CA Issue.

AB The title compds. I (R1 = H or alkyl; R2 = H, alkyl, cycloalkyl, dialkylaminoalkyl, alkenyl, aryl, or aralkyl; R3 = H or alkyl; R4 and R5 = H, halogen, alkyl, alkoxy, CO2H, or alkoxycarbonyl; R1 and R2 may be an alkylene optionally interrupted by a hetero atom) were prepared by treating 2-hydroxy-methyl-3-oxo-3,4-dihydroquinoxalines (II) with R1R2NCOR6 (R6 = halogen, alkoxy, aryloxy, alkylthio, or arylthio) optionally in the presence of a catalyst or dehydrohalogenating agent. I are remedies for arteriosclerosis and thrombosis. Thus, 2 g MeNH-COCl was added to a mixture of 4 g II (R3 = Me, R4 = R5 = H), 3 g PhNMe2, and 40 ml Et2O and the mixture refluxed 5 hr to give 3.2 g I (R1 = R4 = R5 = H, R2 = R3 = Me). Among ca. 17 more I similarly prepared were the following (R1-R5 given): H, Me2N(CH2)2, H, H, H; NR1R2 = 4-methylpiperazino, H, H, H; H, Me, H, 6(or 7)-MeO, H; Me, Me, H, 6-Me, 7-Me.

IT **41242-90-4P 53339-18-7P 53339-19-8P**
53339-20-1P 53339-22-3P 53378-15-7P
53378-16-8P 53378-17-9P 53378-21-5P
53378-22-6P 53378-23-7P 53378-24-8P
53503-81-4P 53626-66-7P 53629-28-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect on arteriosclerosis and thrombosis)

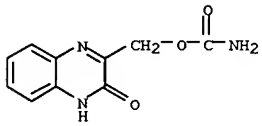
RN 41242-90-4 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 53339-18-7 CAPLUS

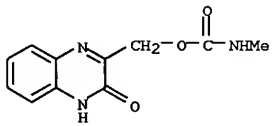
CN 2(1H)-Quinoxalinone, 3-[[(aminocarbonyl)oxy]methyl]-6(or 7)-methoxy- (9CI) (CA INDEX NAME)



D1—O—Me

RN 53339-19-8 CAPLUS

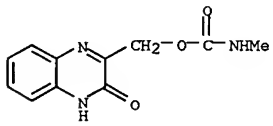
CN 2(1H)-Quinoxalinone, 6(or 7)-methoxy-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



D1—O—Me

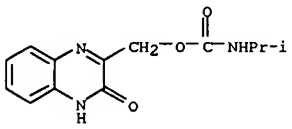
RN 53339-20-1 CAPLUS

CN 2(1H)-Quinoxalinone, 6(or 7)-chloro-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



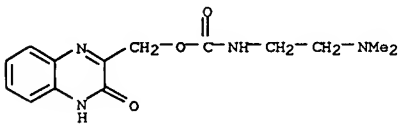
D1—Cl

RN 53339-22-3 CAPLUS
CN Carbamic acid, (1-methylethyl)-, [3,4-dihydro-6(or 7)-methyl-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)

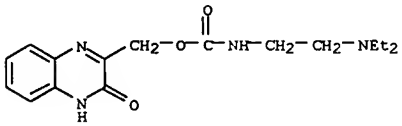


D1—Me

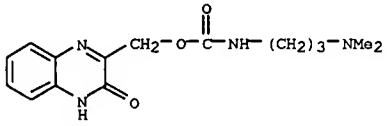
RN 53378-15-7 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



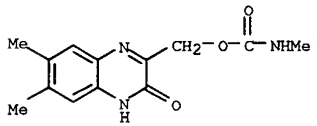
RN 53378-16-8 CAPLUS
CN Carbamic acid, [2-(diethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



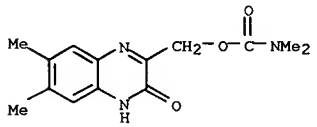
RN 53378-17-9 CAPLUS
CN Carbamic acid, [3-(dimethylamino)propyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



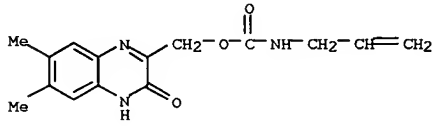
RN 53378-21-5 CAPLUS
CN 2(1H)-Quinoxalinone, 6,7-dimethyl-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



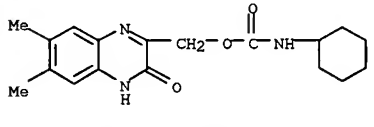
RN 53378-22-6 CAPLUS
CN Carbamic acid, dimethyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



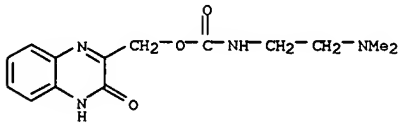
RN 53378-23-7 CAPLUS
CN Carbamic acid, 2-propenyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



RN 53378-24-8 CAPLUS
CN Carbamic acid, cyclohexyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)

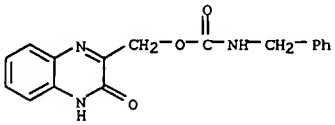


RN 53503-81-4 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, [3,4-dihydro-6(or 7)-methoxy-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)



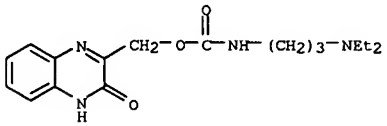
D1—O—Me

RN 53626-66-7 CAPLUS
CN Carbamic acid, (phenylmethyl)-, [3,4-dihydro-6(or 7)-methyl-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)



D1—Me

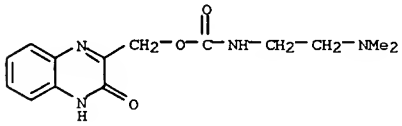
RN 53629-28-0 CAPLUS
CN Carbamic acid, [3-(diethylamino)propyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



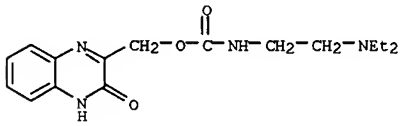
L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:491578 CAPLUS
DOCUMENT NUMBER: 81:91578
TITLE: Quinoxalines
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49024981	A2	19740305	JP 1972-63686	19720627
PRIORITY APPLN. INFO.:			JP 1972-63686 A	19720627

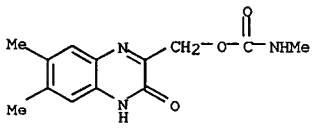
GI For diagram(s), see printed CA Issue.
AB The quinoxalines I (R1 = alkyl, cycloalkyl, dialkyl-aminoalkyl, alkenyl, aryl, or aralkyl; R2 = H or alkyl; R3 and R4 = H, halo, alkyl, alkoxy, CO2H, or alkoxycarbonyl) were prepared by treating II with R1NCO. I are remedies for arterio-sclerosis and thrombosis. Thus, 2 g II (R2 = Me, R3 and R4 = H) in pyridine was treated overnight with 1 g MeNCO and the mixture heated 1 hr at 50-60° to give 2 g I (R1 = R2 = Me; R3 = R4 = H). Among 12 more I similarly prepared were the following (R1-R4 given): Me, H, 6-Me, 7-Me; Me2N(CH2)2, H, H, H; allyl, H, 6-Me, 7-Me; Et2N(CH2)2, H, H, H.
IT **53378-15-7P 53378-16-8P 53378-21-5P 53378-23-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect on arteriosclerosis and thrombosis)
RN 53378-15-7 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



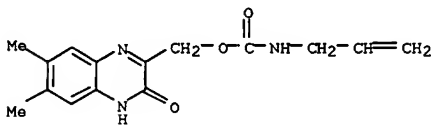
RN 53378-16-8 CAPLUS
CN Carbamic acid, [2-(diethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



RN 53378-21-5 CAPLUS
CN 2(1H)-Quinoxalinone, 6,7-dimethyl-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



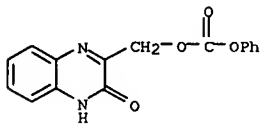
RN 53378-23-7 CAPLUS
CN Carbamic acid, 2-propenyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



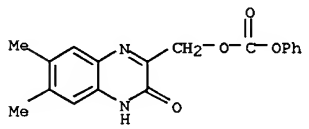
L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:491576 CAPLUS
DOCUMENT NUMBER: 81:91576
TITLE: Quinoxalines
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49024982	A2	19740305	JP 1972-63687	19720627
PRIORITY APPLN. INFO.:			JP 1972-63687 A	19720627

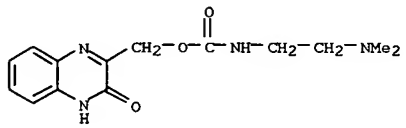
GI For diagram(s), see printed CA Issue.
AB The quinoxalines I (R1 = H or alkyl; R2 = H, alkyl, cycloalkyl, dialkylaminoalkyl, alkenyl, aryl, or aralkyl; R3 = H or alkyl; R4,R5 = H, halogen, alkyl, or alkoxy; R1R2 may be alkylene optionally interrupted by a hetero atom) were prepared by treating II (Z = O or S; R = lower alkyl, aryl, or substituted aryl) with NHR1R2. I are remedies for arterio-sclerosis and thrombosis. Thus, 30% MeNH2 solution was added to a solution of 2 g II (R3 = Me, R4 and R5 = H, Z = O, R = Ph) in MeOH and the mixture let stand overnight room at temperature to give 0.8 g I (R1 = R4 = R5 = H, R2 = R3 = Me). Among ca. 17 more I similarly prepared were (R1 = R5 given): H, Me2N-(CH2)2, H, H, H; .apprx.NR1R2 = 4-methyl-1-piperazinyl, H, H, H; H, Me2N(CH2)3, H, H, H; Me, Me, H, 6-Me, 7-Me.
IT **53629-36-(53629-37-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of)
RN 53629-36-0 CAPLUS
CN Carbonic acid, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl phenyl ester (9CI) (CA INDEX NAME)



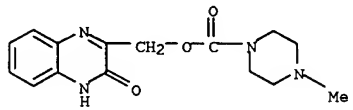
RN 53629-37-1 CAPLUS
CN Carbonic acid, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl phenyl ester (9CI) (CA INDEX NAME)



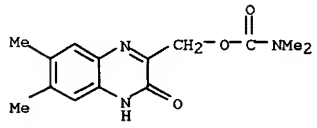
IT **53378-15-7I 53378-19-1I 53378-22-6P 53629-28-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect on thrombosis and arteriosclerosis)
RN 53378-15-7 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



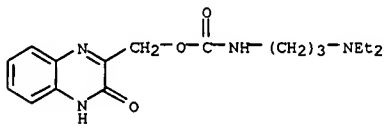
RN 53378-19-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



RN 53378-22-6 CAPLUS
CN Carbamic acid, dimethyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



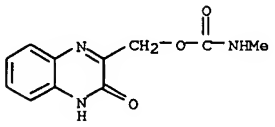
RN 53629-28-0 CAPLUS
CN Carbamic acid, [3-(diethylamino)propyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



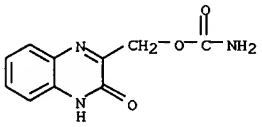
L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:463679 CAPLUS
DOCUMENT NUMBER: 81:63679
TITLE: Quinoxalines
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49024983	A2	19740305	JP 1972-63688	19720627
PRIORITY APPLN. INFO.:			JP 1972-63688 A	19720627

GI For diagram(s), see printed CA Issue.
AB 2-Hydroxymethyl-3-oxo-3,4-dihydroquinoxalines I (R3 = H or alkyl; R4 and R5 = H, halogen, alkyl, alkoxy, CO2-H, or alkoxycarbonyl) were treated with COCl2 and the resulting chlorocarbonates (II) treated with NHR1R2 (R1 = H or alkyl; R2 = H, alkyl, cycloalkyl, dialkylaminoalkyl, alkenyl, aryl, or aralkyl; NR1R2 may form a heterocyclic ring) to give the title compds. (III). III are remedies for arteriosclerosis and thrombosis. Thus, 5.5 g COCl2 in 50 ml PhMe was added to a cold (-5°) mixture of 9.2 g I (R3 = Me, R4 = R5 = H), 7 g PhNMe2, and 300 ml PhMe, the mixture stirred 5 hr at 0-5°, and the resulting chlorocarbonate treated with 3.2 g MeNH2 to give 6.8 g III(R1 = R4 = R5 = H, R2 = R3 = Me). Among .apprx.17 more III similarly prepared were the following (R1-R5 given): H, Me, H, H, H; H, Me2N(CH2)2, H, H, H; NR1R2 = 4-methylpiperazino, H, H, H; Me, Me, H, 6-Me, 7-Me.
IT **41242-90-4I 53339-18-7I 53339-19-8P 53339-20-1I 53339-21-2I 53339-22-3P 53339-23-4I 53378-15-7I 53378-16-8P 53378-17-9I 53378-18-0I 53378-19-1P 53378-21-5I 53378-22-6I 53378-23-7P 53378-24-8I 53503-81-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and effect on thrombosis and arteriosclerosis)
RN 41242-90-4 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

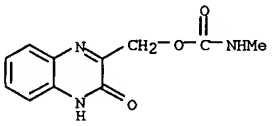


RN 53339-18-7 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[[[(aminocarbonyl)oxy]methyl]-6(or 7)-methoxy- (9CI) (CA INDEX NAME)



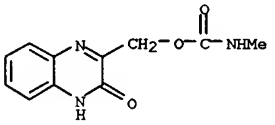
D1—O—Me

RN 53339-19-8 CAPLUS
CN 2(1H)-Quinoxalinone, 6(or 7)-methoxy-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



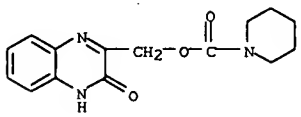
D1—O—Me

RN 53339-20-1 CAPLUS
CN 2(1H)-Quinoxalinone, 6(or 7)-chloro-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



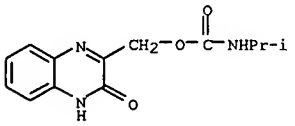
D1—Cl

RN 53339-21-2 CAPLUS
CN 1-Piperidinecarboxylic acid, [6(or 7)-chloro-3,4-dihydro-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)



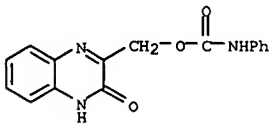
D1— Cl

RN 53339-22-3 CAPLUS
CN Carbamic acid, (1-methylethyl)-, [3,4-dihydro-6(or 7)-methyl-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)



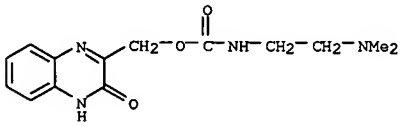
D1— Me

RN 53339-23-4 CAPLUS
CN 2(1H)-Quinoxalinone, 6(or 7)-methyl-3-[[[(phenylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

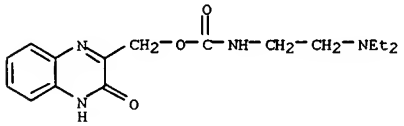


D1— Me

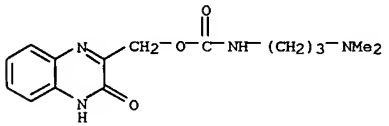
RN 53378-15-7 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



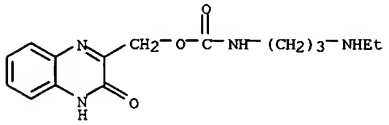
RN 53378-16-8 CAPLUS
CN Carbamic acid, [2-(diethylamino)ethyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



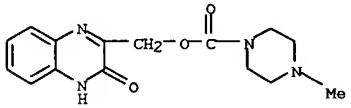
RN 53378-17-9 CAPLUS
CN Carbamic acid, [3-(dimethylamino)propyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



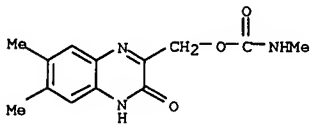
RN 53378-18-0 CAPLUS
CN Carbamic acid, [3-(ethylamino)propyl]-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



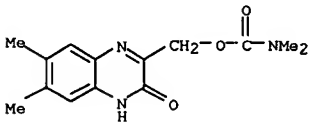
RN 53378-19-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, (3,4-dihydro-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



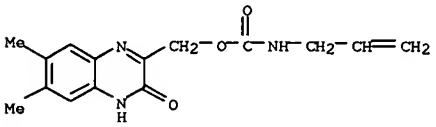
RN 53378-21-5 CAPLUS
CN 2(1H)-Quinoxalinone, 6,7-dimethyl-3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



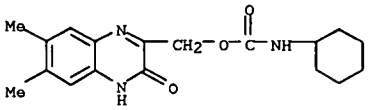
RN 53378-22-6 CAPLUS
CN Carbamic acid, dimethyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



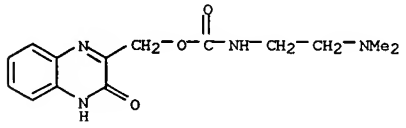
RN 53378-23-7 CAPLUS
CN Carbamic acid, 2-propenyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



RN 53378-24-8 CAPLUS
CN Carbamic acid, cyclohexyl-, (3,4-dihydro-6,7-dimethyl-3-oxo-2-quinoxalinyl)methyl ester (9CI) (CA INDEX NAME)



RN 53503-81-4 CAPLUS
CN Carbamic acid, [2-(dimethylamino)ethyl]-, [3,4-dihydro-6(or 7)-methoxy-3-oxo-2-quinoxalinyl]methyl ester (9CI) (CA INDEX NAME)

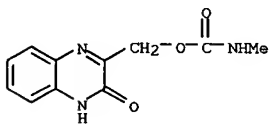


D1—O—Me

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:159665 CAPLUS
DOCUMENT NUMBER: 78:159665
TITLE: Quinoxaline derivatives
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028481	A2	19730414	JP 1971-62052	19710817
JP 49017268	B4	19740427		

PRIORITY APPLN. INFO.: JP 1971-62052 A 19710817
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), remedies for arteriosclerosis, were prepared by treating the corresponding 2-(hydroxymethyl)quinoxalines with carbamates. Thus, a mixture of 4 g 2-(hydroxymethyl)quinoxaline and 3 g dimethylaniline in Et2O was refluxed 5 hr with 2 g MeNHCOCl to give 1.8 g I (R1 = H, R2 = Me, R3 = H, m = n = o). Among 11 more I similarly prepared were the following (R1, R2, R3, m, and n given): Me, Me, H, O O; H, Me, OH, O,O; H, Me, H, 1, 1; H, allyl, H, O,O; H,α-furyl, H, O,O.
IT **41242-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41242-90-4 CAPLUS
CN 2(1H)-Quinoxalinone, 3-{[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:159664 CAPLUS
DOCUMENT NUMBER: 78:159664
TITLE: Quinoxaline derivatives
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028483	A2	19730414	JP 1971-62283	19710818
JP 49017270	B4	19740427		

PRIORITY APPLN. INFO.: JP 1971-62283 A 19710818

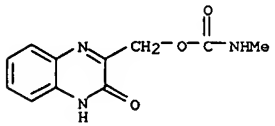
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), remedies for arteriosclerosis, were prepared by treating 2-(hydroxymethyl)-quinoxalines with phosgene followed by treatment with NH3 or amines. Thus, 3.4 g 2-(hydroxymethyl)quinoxaline and dimethylaniline in PhMe was treated with C12CO and the resulting chlorocarbonate treated with NH3 to give 2 g I(R1 = NH2, R2 = H, m = n = 0). Among 12 more I similarly prepared were the following (R1, R2, m and n given): NHMe, OH, 0,0; NHMe, H, 1,1; PhCH2NH, H, O, O; pyrrolidino, H, 0,0; morpholino, H, 0,0.

IT **41242-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41242-90-4 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:159663 CAPLUS
DOCUMENT NUMBER: 78:159663
TITLE: Quinoxaline derivatives
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028480	A2	19730414	JP 1971-61637	19710816
JP 49017267	B4	19740427		

PRIORITY APPLN. INFO.: JP 1971-61637 A 19710816

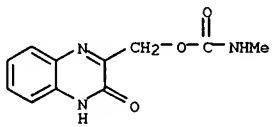
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), remedies for arteriosclerosis, were prepared by treating the corresponding alcs. with isocyanates. Thus, 4 g 2-(hydroxymethyl)quinoxaline 4-oxide in pyridine was mixed with MeCNO and after standing the mixture heated 1 hr to give 3.8 g I(R1 = Me, R2 = H,m = 1,n = 0). Among 9 more I similarly prepared were the following (R1,R2,m, and n given): Me, H, 1,1; Me, OH, O, O; allyl, H, O, O; PhCH2, H, O, O; Ph, Me, O, O.

IT **41242-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41242-90-4 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:159662 CAPLUS
DOCUMENT NUMBER: 78:159662
TITLE: Quinoxaline derivatives
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028482	A2	19730414	JP 1971-62282	19710818
JP 49017269	B4	19740427		

PRIORITY APPLN. INFO.: JP 1971-62282 A 19710818

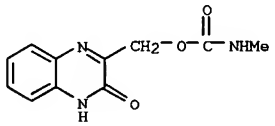
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), remedies for arteriosclerosis, were prepared by treating alkyl- or arylcarbonyloxymethylquinoxalines with NH3 or with amines. Thus, 6 g 2-(phenoxycarbonyloxymethyl)quinoxaline in MeOH was treated with NH3 to give 4.6 g I (R1 = NH2, R2 = H). Among 11 more I similarly prepared were the following (R1, R2 given): NHMe, OH; NMe2, H; NHMe, Me; NHMe, H; morpholino, H.

IT **41242-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41242-90-4 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[[[(methylamino)carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)



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